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PATENT ABSTRACTS OF JAPAN

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(54) 5-AMINOISOXAZOLE DERIVATIVE

(57) Abstract:

PROBLEM TO BE SOLVED: To obtain the subject new compound having excellent inhibitory effect on P38 MAP kinase especially activating a certain kind of transcription factor, and useful as a treatment agent for tumor necrosis factor(TNF)- α -related diseases, interleukin-1-related diseases, cyclooxygenaseII-related diseases, or the like based on the above inhibitory activity.

SOLUTION: This new compound (or a salt thereof) is represented by formula I (X is H or a halogen; R1 is H or a lower alkyl; R2 is H, an organic sulfonyl or the like; wherein, when X is H, R1 and R2 are each not H at the same time), e.g. 3-(4-fluorophenyl)-5-methylamino-4-(4-pyridyl)isoxazole. The compound of formula I where R1 and R2 are each H is obtained by treating an aldehyde compound of formula II with hydroxylamine (salt) to form an oxime compound, which is then halogenated, and the resulting halide of formula

III is then reacted with acetonitrile. A dose of the compound of formula I is pref. 0.1-2 mg/kg.

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